

# PATENT ABSTRACTS OF JAPAN

(11)Publication number : 11-080131

(43)Date of publication of application : 26.03.1999

(51)Int.Cl.

C07D239/74  
A61K 31/505  
A61K 31/515  
A61K 31/52  
A61K 31/52  
A61K 31/52  
A61K 31/535  
C07D239/42  
C07D239/62  
C07D239/78  
C07D401/06  
C07D403/06  
C07D405/12  
C07D405/14  
C07D417/06  
C07D471/04  
C07D471/04  
C07D473/00  
C07D475/00  
C07D487/04  
C07D487/04  
C07D491/048  
C07D491/056

(21)Application number : 09-251348

(71)Applicant : MITSUBISHI CHEM CORP

(22)Date of filing : 01.09.1997

(72)Inventor : KITANO YASUNORI

KAWAHARA EIJI

TAKAYANAGI HISAO

SUZUKI TAKESHI

OYA ATSUSHI

HARA HIROTO

(54) ETHYNYLPYRIMIDINE DERIVATIVE

(57)Abstract:

PROBLEM TO BE SOLVED: To obtain the subject new compound having strong thyroxine kinase blocking activities



and cancer cell growth inhibiting activities, and useful as a carcinostatic agent, an immunosuppressant, a platelet aggregation inhibitor, a therapeutic agent for arteriosclerosis, an antiinflammatory agent, a cancer cell growth inhibitor.

SOLUTION: The objective compound is the compound of formula I {A and B are each nitro, (CH<sub>2</sub>)<sup>n</sup>NR<sub>3</sub>R<sub>4</sub> [(n) is 0 or 1; R<sub>3</sub> and R<sub>4</sub> are each H or a (substituted) 1-5C alkyl] or the like; R<sub>1</sub> is H, a halogen, a (substituted) phenyl or the like; R<sub>2</sub> is formula II [R<sub>3</sub> and R<sub>4</sub> are each H or COR<sub>6</sub> (R<sub>6</sub> is hydroxyl, a 1-5C alkoxy or the like); R<sub>5</sub> is hydroxyl, a 1-5C alkyl or the like] or the like}, e.g. ethynylquinazoline. The compound of the formula I is obtained by reacting the compound having a bicyclic condensed ring with the compound obtained by reacting an aldehyde with carbon tetrabromide or the like, in an etheric solvent such as tetrahydrofuran in the presence of a base such as triethylamine, a palladium complex such as Pd(PPh<sub>3</sub>)<sub>4</sub> and copper (I) compound such as CuI at 20-200°C for 5 min to 8 hr.

---

## LEGAL STATUS

[Date of request for examination]

[Date of sending the examiner's decision of rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]